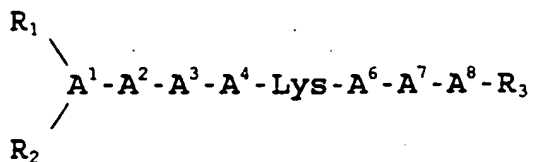


Claims

1. A compound of the formula:



wherein

A¹ is a D- or L-isomer of an aromatic amino acid, or is deleted;

A² is a D-isomer selected from the group consisting of Cys, Pen, an aromatic amino acid, or an aliphatic amino acid;

A³ is an aromatic amino acid;

A⁴ is Trp or D-Trp;

A⁶ is Thr, Thr(Bzl), Gly, Ser, an Eaa, or an aliphatic amino acid;

A⁷ is Cys, Pen, or an aromatic or an aliphatic amino acid;

A⁸ is a D- or L-isomer selected from the group consisting of Thr, Ser, an aromatic amino acid, or an aliphatic amino acid;

each of R₁ and R₂, is, independently, H or substituted or unsubstituted lower alkyl, aryl, aryl lower alkyl, heterocycle, heterocycle lower alkyl, E₁SO₂ or E₁CO (where E₁ is aryl, aryl lower alkyl, heterocycle, or heterocycle lower alkyl), where said substituent is halo, lower alkyl, hydroxy, halo lower alkyl, or hydroxy lower alkyl; and

R₃ is OH, NH₂, C₁₋₁₂ alkoxy, or NH-Y-CH₂-Z, wherein Y is a C₁₋₁₂ hydrocarbon moiety and Z is H, OH, CO₂H, or CONH₂, or R₃, together with the carbonyl group of A⁸ attached thereto, are reduced to form H, lower alkyl, or hydroxy lower alkyl; provided if A² is D-Cys or D-Pen, and A⁷ is Cys or Pen, then a disulfide bond links the sidechains of A² and A⁷, and if A¹ is

34 D-Phe or p-NO₂-Phe; A² is D-Cys; A³ is Phe or Tyr; A⁶ is Thr or
35 Val; and A⁷ is Cys; then A⁸ is β-Nal.

1 2. A compound of claim 1, wherein A² is D-Cys, A⁷ is
2 Cys, and A⁴ is D-Trp.

1 3. A compound of claim 2, wherein A¹ is an L-
2 aromatic amino acid.

1 4. A compound of claim 3, wherein A¹ and A³,
2 independently, is β-Nal, o-X-Phe (where X is H, OH, CH₃, halo,
3 OCH₃, NH₂, CN, or NO₂), p-X-Phe (where X is H, OH, CH₃, halo,
4 OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo,
5 OCH₃, NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal, Tyr(Bzl), His,
6 Igl, Tyr(I), Bta, Bip, Npa, or Pal; A⁶ is Thr, Ser, Tle,
7 Thr(Bzl), Abu, Ala, Ile, Leu, Gly, Nle, β-Ala, Gaba, or Val;
8 and A⁸ is the D- or L-isomer of Thr, Dip, F₅-Phe, p-X-Phe
9 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), o-X-Phe
10 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe
11 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), Igl,
12 Tyr(Bzl), or β-Nal.

1 5. A compound of claim 4, wherein A¹ is β-Nal, Npa,
2 Igl, Phe, p-F-Phe, Trp, p-Cl-Phe, or p-CN-Phe; A³ is Tyr,
3 Tyr(I), or Pal; A⁶ is Val, Tle, Nle, Ile, or Leu; A⁸ is p-F-
4 Phe, β-Nal, Tyr, Dip, p-Cl-Phe, Igl, or p-CN-Phe; R₁ is H,
5 CH₃CO, 4-(2-hydroxyethyl)-1-piperazinylacetyl, or 4-(2-
6 hydroxyethyl)-1-piperazineethanesulfonyl; R₂ is H; and R₃ is
7 NH₂.

1 6. A compound of claim 5, wherein A³ is Pal.

2 7. A compound of claim 4 of the formula:

3 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
4 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂
5 (V);

6 (H) - (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - β -Nal-D-
 7 Cys-Tyr-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 8 (H) - (4 - (2-hydroxyethyl) - 1-piperizineethanesulfonyl) - β -
 9 Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 10 H₂- β -Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 11 (H) (CH₃CO) - β -Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 12 (H) - (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - β -Nal-D-
 13 Cys-Pal-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 14 (H) - (4 - (2-hydroxyethyl) - 1-piperizineethanesulfonyl) - β -
 15 Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 16 H₂- β -Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
 17 (H) (CH₃CO) - β -Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
 18 (H) (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - β -Nal-D-
 19 Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
 20 (H) (4 - (2-hydroxyethyl) - 1-piperizineethanesulfonyl) - β -
 21 Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
 22 H₂- β -Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
 23 (H) (CH₃CO) - β -Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
 24 (H) (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - β -Nal-D-
 25 Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
 26 (H) (4 - (2-hydroxyethyl) - 1-piperizineethanesulfonyl) - β -
 27 Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
 28 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 29 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 30 (H) (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - Phe-D-Cys-
 31 Tyr-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 32 (H) (4 - (2-hydroxyethyl) - 1-piperizineethanesulfonyl) - Phe-
 33 D-Cys-Tyr-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 34 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 35 (H) (CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 36 (H) (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - Phe-D-Cys-
 37 Pal-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 38 (H) (4 - (2-hydroxyethyl) - 1-piperizineethanesulfonyl) - Phe-
 39 D-Cys-Pal-D-Trp-Lys-Val-Cys- β -Nal-NH₂;
 40 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;

41 (H) (CH₃CO) - Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
 42 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - Phe-D-Cys-
 43 Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
 44 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - Phe-
 45 D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
 46 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 47 (H) (CH₃CO) - β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 48 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 49 Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 50 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 51 Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 52 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 53 (H) (CH₃CO) - β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 54 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 55 Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 56 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 57 Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 58 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 59 H(CH₃CO) - β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 60 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 61 Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 62 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 63 Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 64 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 65 (H) (CH₃CO) - β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 66 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 67 Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 68 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 69 Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 70 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 71 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 72 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) Phe-D-Cys-
 73 Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 74 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) Phe-
 75 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;

76 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 77 (H) (CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 78 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
 79 Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 80 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl) Phe-
 81 D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 82 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 83 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 84 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
 85 Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 86 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl) Phe-
 87 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 88 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 89 (H) (CH₃CO) -Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 90 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
 91 Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 92 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl) Phe-
 93 D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 94 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
 95 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
 96 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
 97 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
 98 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-Thr-NH₂;
 99 H₂-Phe-D-Pen-Tyr-D-Trp-Lys-Val-Pen-β-Nal-NH₂; or
 100 H₂-Phe-D-Pen-Pal-D-Trp-Lys-Thr-Pen-Thr-NH₂;
 101 H₂-Dip-D-Cys-Pal-D-Trp-Lys-Val-Cys-Dip-NH₂;
 102 H₂-F₅-Phe-D-Cys-His-D-Trp-Lys-Val-Cys-F₅-Phe-NH₂;
 103 H₂-Dip-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 104 H₂-m-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-m-F-Phe-NH₂;
 105 H₂-o-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-o-F-Phe-NH₂;
 106 H₂-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-p-F-Phe-NH₂;
 107 H₂-F₅-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-F₅-Phe-NH₂;
 108 H₂-F₅-Phe-D-Cys-2-Pal-D-Trp-Lys-Val-Cys-F₅-Phe-NH₂;
 109 H₂-β-Nal-D-Cys-His-D-Trp-Lys-Val-Cys-Dip-NH₂;
 110 H₂-Dip-D-Cys-His-D-Trp-Lys-Val-Cys-β-Nal-NH₂;

111 H₂-Dip-D-Cys-His-D-Trp-Lys-Val-Cys-Dip-NH₂;
 112 H₂-β-Nal-D-Cys-His-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 113 H₂-Trp-D-Cys-Tyr-D-Trp-Lys-Val-Cys-D-β-Nal-NH₂;
 114 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-D-β-Nal-NH₂;
 115 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-D-p-F-Phe-NH₂;
 116 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
 117 H₂-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 118 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Nle-Cys-β-Nal-NH₂;
 119 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Ile-Cys-β-Nal-NH₂;
 120 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Gly-Cys-β-Nal-NH₂;
 121 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Ala-Cys-β-Nal-NH₂;
 122 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Leu-Cys-β-Nal-NH₂;
 123 H₂-Bip-D-Cys-Tyr-D-Trp-Lys-Ile-Cys-Bip-NH₂;
 124 H₂-p-F-Phe-D-Cys-His-D-Trp-Lys-Val-Cys-p-F-Phe-NH₂;
 125 H₂-Npa-D-Cys-Pal-D-Trp-Lys-Val-Cys-Tyr-NH₂;
 126 H₂-m-F-Phe-D-Cys-His-D-Trp-Lys-Val-Cys-m-F-Phe-NH₂;
 127 H₂-o-F-Phe-D-Cys-His-D-Trp-Lys-Val-Cys-o-F-Phe-NH₂;
 128 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-Dip-NH₂;
 129 H₂-Cpa-D-Cys-Pal-D-Trp-Lys-Val-Cys-Cpa-NH₂;
 130 H₂-Igl-D-Cys-Pal-D-Trp-Lys-Val-Cys-Igl-NH₂;
 131 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-D-Dip-NH₂;
 132 H₂-β-Nal-D-Cys-3-I-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 133 H₂-p-CN-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-p-CN-Phe-NH₂;
 134 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-D-Dip-NH₂;
 135 H₂-β-Nal-D-Cys-Bta-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 136 H₂-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
 137 H₂-Bpa-D-Cys-Pal-D-Trp-Lys-Val-Cys-Bpa-NH₂;
 138 H₂-Iph-D-Cys-Pal-D-Trp-Lys-Val-Cys-Iph-NH₂;
 139 H₂-Trp-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
 140 H₂-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 141 H₂-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
 142 H₂-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-p-Cl-Phe-NH₂;
 143 H₂-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Cha-Cys-p-Cl-Phe-NH₂;
 144 H₂-p-Cl-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Val-Cys-p-Cl-Phe-
 145 NH₂;

146 H₂-p-Cl-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 147 H₂-p-Cl-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
 148 H₂-p-F-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 149 H₂-p-F-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
 150 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
 151 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
 152 H₂-p-NO₂-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
 153 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
 154 H₂-p-NO₂-Phe-D-Cys-Tyr(Bzl)-D-Trp-Lys-Thr(Bzl)-Cys-β-
 155 Nal-NH₂;
 156 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-Phe-
 157 D-Cys-Tyr(Bzl)-D-Trp-Lys-Thr(Bzl)-Cys-β-Nal-NH₂;
 158 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-Phe-
 159 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Tyr-NH₂;
 160 H₂-p-NO₂-Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 161 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-Phe-
 162 D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 163 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-Phe-
 164 D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 165 H₂-β-Nal-D-Cys-Tyr(Bzl)-D-Trp-Lys-Thr(Bzl)-Cys-β-Nal-
 166 NH₂; or
 167 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
 168 Cys-Tyr(Bzl)-D-Trp-Lys-Thr(Bzl)-Cys-Tyr(Bzl)-NH₂; or
 169 a pharmaceutically acceptable salt thereof.

1 8. A compound of claim 2, wherein A¹ is a D-aromatic
 2 amino acid.

1 9. A compound of claim 8, wherein A¹ is D-β-Nal, D-
 2 o-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-
 3 p-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-
 4 m-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-
 5 F₃-Phe, D-Trp, D-Dip, D-2-Pal, D-Tyr(Bzl), D-His, D-Igl, D-
 6 Tyr(I), D-Bta, D-Bip, D-Npa, or D-Pal; A³ is β-Nal, o-X-Phe
 7 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), p-X-Phe

8 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe
 9 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), F₅-Phe,
 10 Trp, Dip, 2-Pal, Tyr(Bzl), His, Igl, Tyr(I), Bta, Bip, Npa, or
 11 Pal; A⁶ is Thr, Ser, Tle, Thr(Bzl), Abu, Ala, Ile, Leu, Gly,
 12 Nle, β-Ala, Gaba, or Val; and A⁸ is the D- or L-isomer of Thr,
 13 Dip, F₅-Phe, p-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
 14 CN, or NO₂), o-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
 15 CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
 16 CN, or NO₂), Igl, Tyr(Bzl), or β-Nal.

1 10. A compound of claim 9, wherein A¹ is D-β-Nal, D-
 2 Npa, D-Igl, D-Phe, D-p-F-Phe, D-Trp, D-p-Cl-Phe, or D-p-
 3 CN-Phe; A³ is Tyr, Tyr(I), or Pal; A⁶ is Val, Tle, Nle, Ile, or
 4 Leu; A⁸ is p-F-Phe, β-Nal, Tyr, Dip, p-Cl-Phe, Igl, or p-CN-
 5 Phe; R₁ is H, CH₃CO, 4-(2-hydroxyethyl)-1-piperazinylacetyl, or
 6 4-(2-hydroxyethyl)-1-piperazineethanesulfonyl; R₂ is H; and R₃
 7 is NH₂.

1 11. A compound of claim 10, wherein A³ is Pal.

1 12. A compound of claim 8, of the formula:
 2 H₂-D-Phe-D-Pen-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
 3 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
 4 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 5 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
 6 H₂-D-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
 7 H₂-D-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-Thr-NH₂;
 8 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-Thr-NH₂;
 9 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-D-β-Nal-NH₂;
 10 H₂-D-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-D-p-F-Phe-NH₂;
 11 H₂-D-Bip-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 12 H₂-D-Dip-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
 13 H₂-D-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
 14 H₂-D-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-p-Cl-Phe-NH₂;

15 p-NO₂-D-Phe-D-Cys-Pal-D-Trp-Lys-Thr(Bzl)-Cys-Tyr(Bzl)-
16 NH₂;

17 p-NO₂-D-Phe-D-Cys-Tyr(Bzl)-D-Trp-Lys-Val-Cys-Tyr(Bzl)-
18 NH₂;

19 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-D-
20 Phe-D-Cys-Pal-D-Trp-Lys-Thr(Bzl)-Cys-Tyr(Bzl)-NH₂; or

21 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-D-
22 Phe-D-Cys-Tyr(Bzl)-D-Trp-Lys-Val-Cys-Tyr(Bzl)-NH₂; or

23 a pharmaceutically acceptable salt thereof.

24 13. A compound of claim 2, wherein A¹ is deleted, R¹
25 is substituted or unsubstituted E₁CO, and R₂ is H.

1 14. A compound of claim 13, wherein R₁ is substituted
2 or unsubstituted E₁CO (where E₁ is phenyl, β-naphthylmethyl, β-
3 pyridinylmethyl, or 3-indolylmethyl); A³ is β-Nal, o-X-Phe
4 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), p-X-Phe
5 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe
6 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), F₅-Phe,
7 Trp, Dip, 2-Pal, Tyr(Bzl), His, Igl, Tyr(I), Bta, Bip, Npa, or
8 Pal; A⁶ is Thr, Ser, Tle, Thr(Bzl), Abu, Ala, Ile, Leu, Gly,
9 Nle, β-Ala, Gaba, or Val; and A⁸ is the D- or L-isomer of Thr,
10 Dip, F₅-Phe, p-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
11 CN, or NO₂), o-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
12 CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
13 CN, or NO₂), Igl, Tyr(Bzl), or β-Nal.

1 15. A compound of claim 14, wherein R₁ is E₁CO (where
2 E₁ is 4-hydroxy-phenyl, β-naphthylmethyl, or phenyl); A³ is
3 Tyr, Tyr(I), or Pal; A⁶ is Val, Tle, Nle, Ile, or Leu; A⁸ is p-
4 F-Phe, β-Nal, Tyr, Dip, p-Cl-Phe, Igl, or p-CN-Phe; R₃ is NH₂.

1 16. A compound of claim 15, wherein A³ is Pal.

1 17. A compound of claim 14, of the formula

2 (H) (3-phenylpropionyl) -D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-
 3 Nal-NH₂;
 4 (H) (3-phenylpropionyl) -D-Cys-Pal-D-Trp-Lys-Val-Cys-β-
 5 Nal-NH₂;
 6 (H) (3-phenylpropionyl) -D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-
 7 Nal-NH₂;
 8 (H) (3-phenylpropionyl) -D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-
 9 Nal-NH₂;
 10 (H) (3-phenylpropionyl) -D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-
 11 NH₂;
 12 (H) (3-phenylpropionyl) -D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-
 13 NH₂;
 14 (H) (3-phenylpropionyl) -D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-
 15 NH₂;
 16 (H) (3-phenylpropionyl) -D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-
 17 NH₂;
 18 (H) (3-[2-naphthyl]propionyl) -D-Cys-Tyr-D-Trp-Lys-Val-
 19 Cys-β-Nal-NH₂;
 20 (H) (3-[2-naphthyl]propionyl) -D-Cys-Pal-D-Trp-Lys-Val-
 21 Cys-β-Nal-NH₂;
 22 (H) (3-[2-naphthyl]propionyl) -D-Cys-Tyr-D-Trp-Lys-Thr-
 23 Cys-β-Nal-NH₂;
 24 (H) (3-[2-naphthyl]propionyl) -D-Cys-Pal-D-Trp-Lys-Thr-
 25 Cys-β-Nal-NH₂;
 26 (H) (3-[2-naphthyl]propionyl) -D-Cys-Tyr-D-Trp-Lys-Val-
 27 Cys-Thr-NH₂;
 28 (H) (3-[2-naphthyl]propionyl) -D-Cys-Pal-D-Trp-Lys-Val-
 29 Cys-Thr-NH₂;
 30 (H) (3-[2-naphthyl]propionyl) -D-Cys-Tyr-D-Trp-Lys-Thr-
 31 Cys-Thr-NH₂;
 32 (H) (3-[2-naphthyl]propionyl) -D-Cys-Pal-D-Trp-Lys-Thr-
 33 Cys-Thr-NH₂;
 34 (H) (3-[p-hydroxyphenyl]) -D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-
 35 Nal-NH₂;

36 (H) (3-naphthyl)propionyl)-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-
 37 β -Nal-NH₂;
 38 (H) (3-naphthyl)propionyl)-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-
 39 Thr-NH₂;
 40 (H) (3-phenyl)propionyl)-D-Cys-Tyr-D-Trp-Lys-Abu-Cys- β -
 41 Nal-NH₂; or
 42 (H) (3-phenyl)propionyl)-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-
 43 Thr-NH₂; or
 44 a pharmaceutically acceptable salt thereof.

1 18. A compound of claim 2, wherein R₃, together with
 2 the carbonyl group of A⁸ attached thereto, are reduced to form
 3 H, lower alkyl, or hydroxy lower alkyl.

1 19. A compound of claim 18, wherein A¹ is the D- or L-
 2 isomer of β -Nal, o-X-Phe (where X is H, OH, CH₃, halo, OCH₃,
 3 NH₂, CN, or NO₂), p-X-Phe (where X is H, OH, CH₃, halo, OCH₃,
 4 NH₂, CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo, OCH₃,
 5 NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal, Tyr(Bzl), His, Igl,
 6 Tyr(I), Bta, Bip, Npa, or Pal; A³ is β -Nal, o-X-Phe (where X
 7 is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), p-X-Phe (where X is
 8 H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H,
 9 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal,
 10 Tyr(Bzl), His, Igl, Tyr(I), Bta, Bip, Npa, or Pal; A⁶ is Thr,
 11 Ser, Tle, Thr(Bzl), Abu, Ala, Ile, Leu, Gly, Nle, β -Ala, Gaba,
 12 or Val; and A⁸ is the D- or L-isomer of Thr, Dip, F₅-Phe, p-X-
 13 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), o-X-
 14 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-
 15 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), Igl,
 16 Tyr(Bzl), or β -Nal.

1 20. A compound of claim 19, wherein A¹ is the D- or
 2 L-isomer of β -Nal, Phe, p-F-Phe, Trp, p-Cl-Phe, or p-CN-Phe;
 3 A³ is Tyr, Tyr(I), or Pal; A⁶ is Val, Tle, Nle, Ile, or Leu; A⁸
 4 is p-F-Phe, β -Nal, Tyr, Dip, p-Cl-Phe, Igl, or p-CN-Phe; R₁ is

5 H, CH₃CO, 4-(2-hydroxyethyl)-1-piperazinyllacetyl, or 4-(2-
6 hydroxyethyl)-1-piperizineethanesulfonyl; R₂ is H, and R₃,
7 together with the carboxy group of A⁸ attached thereto, are
8 reduced to form H or CH₃OH.

1 21. A compound of claim 20, wherein A³ is Pal.

1 22. A compound of claim 19, of the formula:

2 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R, 3R-(2-
3 hydroxymethyl)-3-hydroxy)propylamide;

4 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R, 3R-(2-
5 hydroxymethyl)-3-hydroxy)propylamide;

6 (H) (4-(2-hydroxyethyl)-1-piperazinyllacetyl)-β-Nal-D-
7 Cys-Tyr-D-Trp-Lys-Val-Cys-2R, 3R-(2-hydroxymethyl)-3-
8 hydroxy)propylamide;

9 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl)-β-
10 Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R, 3R-(2-hydroxymethyl)-3-
11 hydroxy)propylamide;

12 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R, 3R-(2-
13 hydroxymethyl)-3-hydroxy)propylamide;

14 (H) (CH₃CO)-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R, 3R-(2-
15 hydroxymethyl)-3-hydroxy)propylamide;

16 (H) (4-(2-hydroxyethyl)-1-piperazinyllacetyl)-β-Nal-D-
17 Cys-Pal-D-Trp-Lys-Val-Cys-2R, 3R-(2-hydroxymethyl)-3-
18 hydroxy)propylamide;

19 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl)-β-
20 Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R, 3R-(2-hydroxymethyl)-3-
21 hydroxy)propylamide;

22 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R, 3R-(2-
23 hydroxymethyl)-3-hydroxy)propylamide;

24 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R, 3R-(2-
25 hydroxymethyl)-3-hydroxy)propylamide;

26 (H) (4-(2-hydroxyethyl)-1-piperazinyllacetyl)-β-Nal-D-
27 Cys-Tyr-D-Trp-Lys-Thr-Cys-2R, 3R-(2-hydroxymethyl)-3-
28 hydroxy)propylamide;

29 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β -
 30 Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R- (2-hydroxymethyl) -3-
 31 hydroxy) propylamide;
 32 H₂- β -Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R- (2-
 33 hydroxymethyl) -3-hydroxy) propylamide;
 34 (H) (CH₃CO) - β -Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R- (2-
 35 hydroxymethyl) -3-hydroxy) propylamide;
 36 (H) (4- (2-hydroxyethyl) -1-piperazinyllacetyl) - β -Nal-D-
 37 Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R- (2-hydroxymethyl) -3-
 38 hydroxy) propylamide;
 39 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β -
 40 Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R- (2-hydroxymethyl) -3-
 41 hydroxy) propylamide;
 42 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R- (2-
 43 hydroxymethyl) -3-hydroxy) propylamide;
 44 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R- (2-
 45 hydroxymethyl) -3-hydroxy) propylamide;
 46 (H) (4- (2-hydroxyethyl) -1-piperazinyllacetyl) Phe-D-Cys-
 47 Tyr-D-Trp-Lys-Val-Cys-2R,3R- (2-hydroxymethyl) -3-
 48 hydroxy) propylamide;
 49 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) Phe-
 50 D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R- (2-hydroxymethyl) -3-
 51 hydroxy) propylamide;
 52 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R- (2-
 53 hydroxymethyl) -3-hydroxy) propylamide;
 54 H(CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R- (2-
 55 hydroxymethyl) -3-hydroxy) propylamide;
 56 (H) (4- (2-hydroxyethyl) -1-piperazinyllacetyl) Phe-D-Cys-
 57 Pal-D-Trp-Lys-Val-Cys-2R,3R- (2-hydroxymethyl) -3-
 58 hydroxy) propylamide;
 59 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) Phe-
 60 D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R- (2-hydroxymethyl) -3-
 61 hydroxy) propylamide;
 62 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R- (2-
 63 hydroxymethyl) -3-hydroxy) propylamide;

64 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R, 3R- (2-
 65 hydroxymethyl) -3-hydroxy) propylamide;
 66 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) Phe-D-Cys-
 67 Tyr-D-Trp-Lys-Thr-Cys-2R, 3R- (2-hydroxymethyl) -3-
 68 hydroxy) propylamide;
 69 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) Phe-
 70 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R, 3R- (2-hydroxymethyl) -3-
 71 hydroxy) propylamide;
 72 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R, 3R- (2-
 73 hydroxymethyl) -3-hydroxy) propylamide;
 74 (H) (CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R, 3R- (2-
 75 hydroxymethyl) -3-hydroxy) propylamide;
 76 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) Phe-D-Cys-
 77 Pal-D-Trp-Lys-Thr-Cys-2R, 3R- (2-hydroxymethyl) -3-
 78 hydroxy) propylamide;
 79 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) Phe-
 80 D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R, 3R- (2-hydroxymethyl) -3-
 81 hydroxy) propylamide;
 82 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R- (2-
 83 naphthyl) ethylamide;
 84 (H) (CH₃CO) -β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R- (2-
 85 naphthyl) ethylamide;
 86 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) -β-Nal-D-
 87 Cys-Tyr-D-Trp-Lys-Val-Cys-2R- (2-naphthyl) ethylamide;
 88 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) -β-
 89 Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R- (2-naphthyl) ethylamide;
 90 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R- (2-
 91 naphthyl) ethylamide;
 92 (H) (CH₃CO) -β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R- (2-
 93 naphthyl) ethylamide;
 94 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) -β-Nal-D-
 95 Cys-Pal-D-Trp-Lys-Val-Cys-2R- (2-naphthyl) ethylamide;
 96 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) -β-
 97 Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R- (2-naphthyl) ethylamide;

98 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)
 99 ethylamide;
 100 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-
 101 naphthyl)ethylamide;
 102 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
 103 Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)ethylamide;
 104 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl)-β-
 105 Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)ethylamide;
 106 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R-(2-
 107 naphthyl)ethylamide;
 108 (H) (CH₃CO)-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R-(2-
 109 naphthyl)ethylamide;
 110 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
 111 Cys-Pal-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)ethylamide;
 112 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl)-β-
 113 Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)ethylamide;
 114 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-
 115 naphthyl)ethylamide;
 116 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-
 117 naphthyl)ethylamide;
 118 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
 119 Tyr-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)ethylamide;
 120 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl) Phe-
 121 D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)ethylamide;
 122 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)
 123 ethylamide;
 124 (H) (CH₃CO) Phe-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-
 125 naphthyl)ethylamide;
 126 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
 127 Pal-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)ethylamide;
 128 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl) Phe-
 129 D-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)ethylamide;
 130 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)
 131 ethylamide;

132 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R- (2-
 133 naphthyl) ethylamide;
 134 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) Phe-D-Cys-
 135 Tyr-D-Trp-Lys-Thr-Cys-2R- (2-naphthyl) ethylamide;
 136 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) Phe-
 137 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R- (2-naphthyl) ethylamide;
 138 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R- (2-
 139 naphthyl) ethylamide;
 140 (H) (CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R- (2-
 141 naphthyl) ethylamide;
 142 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) Phe-D-Cys-
 143 Pal-D-Trp-Lys-Thr-Cys-2R- (2-naphthyl) ethylamide;
 144 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) Phe-
 145 D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R- (2-naphthyl) ethylamide;
 146 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-2R- (2-
 147 naphthyl) ethylamide;
 148 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-2R- (2-
 149 naphthyl) ethylamide;
 150 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-2R, 3R- (2-
 151 hydroxymethyl) -3-hydroxy) propylamide; or
 152 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-2R, 3R- (2-
 153 hydroxymethyl) -3-hydroxy) propylamide; or
 154 a pharmaceutically acceptable salt thereof.

1 23. A compound of claim 1, wherein A² is a D-aromatic
 2 amino acid or a D-aliphatic amino acid, A⁷ is an aromatic
 3 amino acid or an aliphatic amino acid, and A⁴ is D-Trp.

1 24. A compound of claim 23, wherein A¹ is an L- amino
 2 acid and A² is a D-aromatic amino acid.

1 25. A compound of claim 24, wherein A¹, A³, and A⁷
 2 independently, is β-Nal, o-X-Phe (where X is H, OH, CH₃, halo,
 3 OCH₃, NH₂, CN, or NO₂), p-X-Phe (where X is H, OH, CH₃, halo,
 4 OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo,

5 OCH₃, NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal, Tyr(Bzl), His,
6 Igl, Tyr(I), Bta, Bip, Npa, or Pal; A² is D-β-Nal, D-o-X-Phe
7 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-p-X-Phe
8 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-m-X-Phe
9 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-F₅-Phe,
10 D-Trp, D-Dip, D-2-Pal, D-Tyr(Bzl), D-His, D-Igl, D-Tyr(I), D-
11 Bta, D-Bip, D-Npa, or D-Pal; A⁶ is Thr, Ser, Tle, Thr(Bzl),
12 Abu, Ala, Ile, Leu, Gly, Nle, β-Ala, Gaba, or Val; and A⁸ is
13 the D- or L-isomer of Thr, Dip, F₅-Phe, p-X-Phe (where X is H,
14 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), o-X-Phe (where X is H,
15 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H,
16 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), Igl, Tyr(Bzl), or β-Nal.

1 26. A compound of claim 25, wherein A¹ is β-Nal or
2 Phe, A² is D-Cpa or D-Phe; A³ is Phe or Tyr; A⁶ is Abu, Thr, or
3 Val; A⁷ is Phe; and A⁸ is Thr; R₁ is H, CH₃CO, 4-(2-
4 hydroxyethyl)-1-piperazinyllacetyl, or 4-(2-hydroxyethyl)-1-
5 piperizineethanesulfonyl; R₂ is H; and R₃ is NH₂.

1 27. A compound of claim 25 of the formula:
 2 H₂-Phe-D-Phe-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 3 H₂-Phe-D-Phe-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
 4 H₂-Phe-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
 5 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
 6 (H) (CH₃CO) - β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
 7 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 8 Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
 9 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 10 Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
 11 H₂-β-Nal-D-Cpa-Pal-D-Trp-Lys-Val-Phe-Thr-NH₂;
 12 (H) (CH₃CO) - β-Nal-D-Cpa-Pal-D-Trp-Lys-Val-Phe-Thr-NH₂;
 13 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 14 Cpa-Pal-D-Trp-Lys-Val-Phe-Thr-NH₂;
 15 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 16 Nal-D-Cpa-Pal-D-Trp-Lys-Val-Phe-Thr-NH₂;
 17 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 18 (H) (CH₃CO) - β-Nal-D-Cpa-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 19 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 20 Cpa-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 21 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 22 Nal-D-Cpa-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 23 H₂-β-Nal-D-Cpa-Pal-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 24 (H) (CH₃CO) - β-Nal-D-Cpa-Pal-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 25 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 26 Cpa-Pal-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 27 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 28 Nal-D-Cpa-Pal-D-Trp-Lys-Thr-Phe-Thr-NH₂;
 29 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂;
 30 (H) (CH₃CO) - β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂;
 31 (H) (4- (2-hydroxyethyl) -1-piperazinylacetyl) - β-Nal-D-
 32 Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂; or
 33 (H) (4- (2-hydroxyethyl) -1-piperizineethanesulfonyl) - β-
 34 Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂;
 35 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂; or

36 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂; or
37 a pharmaceutically acceptable salt thereof.

1 28. A compound of claim 23, wherein A¹ is a D-amino
2 acid and A² is a D-aromatic amino acid.

1 29. A compound of claim 28, wherein A¹ and A²,
2 independently, is D-β-Nal, D-o-X-Phe (where X is H, OH, CH₃,
3 halo, OCH₃, NH₂, CN, or NO₂), D-p-X-Phe (where X is H, OH, CH₃,
4 halo, OCH₃, NH₂, CN, or NO₂), D-m-X-Phe (where X is H, OH, CH₃,
5 halo, OCH₃, NH₂, CN, or NO₂), D-F₅-Phe, D-Trp, D-Dip, D-2-Pal,
6 D-Tyr(Bzl), D-His, D-Igl, D-Tyr(I), D-Bta, D-Bip, D-Npa, or D-
7 Pal; A³ and A⁷, independently, is β-Nal, o-X-Phe (where X is H,
8 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), p-X-Phe (where X is H,
9 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H,
10 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal,
11 His, Igl, Tyr(I), Bta, Bip, Npa, Tyr(Bzl), or Pal; A⁶ is Thr,
12 Ser, Tle, Thr(Bzl), Abu, Ala, Ile, Leu, Gly, Nle, β-Ala, Gaba,
13 or Val; and A⁸ is the D- or L-isomer of Thr, Dip, F₅-Phe, p-X-
14 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), o-X-
15 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-
16 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), Igl,
17 Tyr(Bzl), or β-Nal.

1 30. A compound of claim 29, wherein A¹ is D-β-Nal or
2 D-Phe; A² is D-Cpa or D-Phe; A³ is Phe or Tyr; A⁶ is Thr or
3 Val; A⁷ is Phe; and A⁸ is Thr; R₁ is H, CH₃CO, 4-(2-
4 hydroxyethyl)-1-piperazinylacetyl, or 4-(2-hydroxyethyl)-1-
5 piperizineethanesulfonyl; R₂ is H; and R₃ is NH₂.

1 31. A compound of claim 29 of the formula:
2 H₂-D-β-Nal-D-Cpa-Phe-D-Trp-Lys-Val-Phe-Thr-NH₂;
3 H₂-D-β-Nal-D-Phe-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
4 H₂-D-Phe-D-Phe-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
5 H₂-D-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂; or
6 H₂-D-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂; or
7 a pharmaceutically acceptable salt thereof.